Patent Application
Attorney Docket No. PC10927A

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

IN RE APPLICATION OF: **Corbau et al.** :

APPLICATION NO.: Not Yet Assigned :

FILING DATE: **July 5, 2001** :

TITLE: **ISOTHIAZOLE DERIVATIVES USEFUL AS ANTICANCER AGENTS** :

Examiner: Not Yet Assigned

Group Art Unit: Not Assigned

Commissioner for Patents
BOX PATENT APPLICATION
Washington, D.C. 20231

Sir:

PRELIMINARY AMENDMENT

Prior to examination of the present application on the merits and calculation of the filing fee, applicants request consideration and entry of the following amendments.

Please amend the above-identified application as follows.

IN THE SPECIFICATION

On page 1, after the title, please insert the following: --The application claims the benefit of U.S. Provisional Patent Application No. 60/220,087, filed July 21, 2000 and U.K. Patent Application No. 0016787.4, filed July 7, 2000, both of which are hereby incorporated by reference in their entirety.--

IN THE CLAIMS

Please cancel claims 1-75 without prejudice to applicants' right to pursue the claimed subject matter in a later filed divisional or continuation application.

Please add new claims 76-152 as follows

--76. (New) A compound of the formula Ib

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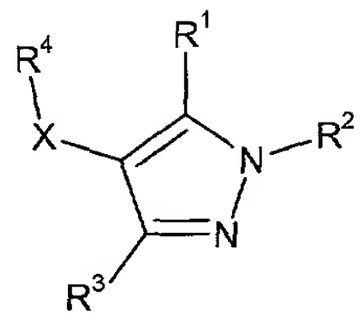


(Ib)

or a pharmaceutically acceptable salt or solvate thereof, wherein

either (i) R^1 is H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl, benzyl, halo, -CN, -OR^7, -CO_2R^5, -$CONR^5R^5$, -$OCONR^5R^5$, -$NR^5CO_2R^7$, -NR^5R^5, -NR^5COR^5, -NR^5CO-(C_1-C_6 alkylene)-OR^5, -$NR^5CONR^5R^5$, -$NR^5SO_2R^7$ or R^6, said C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR^5, -OR^8, -CO_2R^5, -$CONR^5R^5$, -$OCONR^5R^5$, -$NR^5CO_2R^7$, -NR^5R^5, -NR^8R^9, -NR^5COR^5, -NR^5COR^6, -NR^5COR^8, -$SO_2NR^5R^5$, -$NR^5CONR^5R^5$, -$NR^5SO_2R^7$ or R^6 and

R^2 is -Y-Z,

or, R^1 and R^2, when taken together, represent unbranched C_3-C_4 alkylene, optionally wherein one methylene group of said C_3-C_4 alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R^5 or R^8,

and R^3 is H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl, benzyl, -CN, halo, -OR^7, -CO_2R^5, -$CONR^5R^5$, -$OCONR^5R^5$, -$NR^5CO_2R^7$, -NR^5R^5, -NR^5COR^5, -$NR^5CONR^5R^5$, -$NR^5SO_2R^7$ or R^6, said C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR^5, -CO_2R^5, -$CONR^5R^5$, -$OCONR^5R^5$, -$NR^5CO_2R^7$, -NR^5R^5, -NR^5COR^5, -$SO_2NR^5R^5$, -$NR^5CONR^5R^5$, -$NR^5SO_2R^7$ or R^6,

or (ii) R^1 and R^3 are each independently C_1-C_6 alkyl, C_3-C_7 cycloalkyl or halo-(C_1-C_6 alkyl), and R^2 is H,

provided that

(a) for definition (i), R^1 and R^3 are not both H,

(b) for definition (i), R^1 and R^3 are not both optionally substituted phenyl, as defined therein,

(c) for definition (i), when R^1 and R^3 are both methyl, R^2 is not phenyl or methyl, and

(d) for definition (ii), R^1 and R^3 are not both methyl;

Y is a direct bond or C_1-C_3 alkylene;

Z is R^{10} or, where Y is C_1-C_3 alkylene, Z is $-NR^5COR^{10}$, $-NR^5CONR^5R^{10}$, $-NR^5CONR^5COR^{10}$ or $-NR^5SO_2R^{10}$;

R^4 is phenyl or pyridyl, each substituted by at least one substituent selected from halo, -CN, C_1-C_6 alkyl, fluoro-(C_1-C_6)-alkyl, C_3-C_7 cycloalkyl and C_1-C_6 alkoxy;

each R^5 is independently either H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, fluoro-(C_1-C_6)-alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl or morpholinyl, said azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl and morpholinyl being optionally substituted by C_1-C_6 alkyl or C_3-C_7 cycloalkyl and said piperazinyl and homopiperazinyl being optionally substituted on the nitrogen atom not taken together with the two R^5 groups to form the ring by $-COR^7$ or $-SO_2R^7$;

R^6 is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said heterocyclic group being optionally substituted by $-OR^5$, $-NR^5R^5$, -CN, oxo, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, $-COR^7$ or halo;

R^7 is C_1-C_6 alkyl, C_3-C_7 cycloalkyl, fluoro-(C_1-C_6)-alkyl, phenyl or benzyl;

R^8 is C_1-C_6 alkyl substituted by phenyl, pyridyl or pyrimidinyl, said phenyl, pyridyl and pyrimidinyl being optionally substituted by halo, -CN, $-CONR^5R^5$, $-SO_2NR^5R^5$, $-NR^5SO_2R^7$, $-NR^5R^5$, -(C_1-C_6 alkylene)-NR^5R^5, C_1-C_6 alkyl, fluoro-(C_1-C_6)-alkyl, C_3-C_7 cycloalkyl or C_1-C_6 alkoxy;

R^9 is H, C_1-C_6 alkyl or C_3-C_7 cycloalkyl, said C_1-C_6 alkyl and C_3-C_7 cycloalkyl being optionally substituted by $-OR^5$, $-NR^5R^5$, $-NR^5COR^5$, $-CONR^5R^5$ or R^6;

R^{10} is (a) benzyl or C-linked R^6, said benzyl being optionally substituted by halo, $-OR^5$, $-OR^{12}$, $-CN$, $-CO_2R^7$, $-CONR^5R^5$, $-OCONR^5R^5$, $-C(=NR^5)NR^5OR^5$, $-CONR^5NR^5R^5$, $-OCONR^5CO_2R^7$, $-NR^5R^5$, $-NR^5R^{12}$, $-NR^5COR^5$, $-NR^5CO_2R^7$, $-NR^5CONR^5R^5$, $-NR^5COCONR^5R^5$, $-NR^5SO_2R^7$, $-SO_2NR^5R^5$ or R^6, or (b) when R^1 and R^3 are each independently C_1-C_6 alkyl, C_3-C_7 cycloalkyl or halo-(C_1-C_6 alkyl), R^{10} is phenyl, C_1-C_6 alkyl or C_3-C_7 cycloalkyl each being optionally substituted by halo, $-OR^5$, $-OR^{12}$, $-CN$, $-CO_2R^7$, $-CONR^5R^5$, $-OCONR^5R^5$, $-C(=NR^5)NR^5OR^5$, $-CONR^5NR^5R^5$, $-OCONR^5CO_2R^7$, $-NR^5R^5$, $-NR^5R^{12}$, $-NR^5COR^5$, $-NR^5CO_2R^7$, $-NR^5CONR^5R^5$, $-NR^5COCONR^5R^5$, $-NR^5SO_2R^7$, $-SO_2NR^5R^5$ or R^6;

X is $-CH_2-$, $-CHR^{11}-$, $-CO-$, $-S-$, $-SO-$ or $-SO_2-$;

R^{11} is C_1-C_6 alkyl, C_3-C_7 cycloalkyl, fluoro-(C_1-C_6)-alkyl or C_1-C_6 alkoxy; and

R^{12} is C_1-C_6 alkyl substituted by R^6, $-OR^5$, $-CONR^5R^5$, $-NR^5COR^5$ or $-NR^5R^5$.--

--77. (New) A compound according to claim 76 wherein R^1 is C_1-C_6 alkyl, $-OR^7$, $-CO_2R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5CO$-(C_1-C_6 alkylene)-OR^5 or R^6, said C_1-C_6 alkyl being optionally substituted by halo, $-CN$, $-OR^5$, $-OR^8$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^8R^9$, $-NR^5COR^5$, $-NR^5COR^6$, $-NR^5COR^8$, $-SO_2NR^5R^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6.--

--78. (New) A compound according to claim 77 wherein R^1 is C_1-C_6 alkyl, $-OR^7$, $-CO_2R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5CO$-(C_1-C_6 alkylene)-OR^5 or R^6, said C_1-C_6 alkyl being optionally substituted by halo or $-OR^5$.--

--79. (New) A compound according to claim 78 wherein R^1 is C_1-C_3 alkyl, -OCH_3, -CO_2(C_1-C_2 alkyl), -$NHCO_2$(C_1-C_2 alkyl), -NH_2, -$N(CH_3)_2$, -$NHCOCH_2OCH_3$ or furanyl, said C_1-C_3 alkyl being optionally substituted by fluoro or -OH.--

--80. (New) A compound according to claim 79 wherein R^1 is methyl, ethyl, prop-2-yl, hydroxymethyl, trifluoromethyl, -OCH_3, -$CO_2CH_2CH_3$, -$NHCO_2CH_2CH_3$, -NH_2, -$N(CH_3)_2$, -$NHCOCH_2OCH_3$ or furan-2-yl.--

--81. (New) A compound according to claim 80 wherein R^1 is ethyl.--

--82. (New) A compound according to claim 76 wherein R^1 is methyl, ethyl, trifluoromethyl or -CH_2NHCH_2(4-cyanophenyl).--

--83. (New) A compound according to claim 76 wherein R^2 is H, C_1-C_6 alkyl, -(C_1-C_3 alkylene)-NR^5CO-(C_1-C_6 alkyl), -(C_1-C_3 alkylene)-NR^5CONR^5-(C_1-C_6 alkyl), -(C_1-C_3 alkylene)-NR^5CONR^5CO-(phenyl), -(C_1-C_3 alkylene)-NR^5SO_2(C-linked R^6), -(C_1-C_3 alkylene)-NR^5CO(C-linked R^6), -(C_1-C_3 alkylene)-NR^5CO-(phenyl), each C_1-C_6 alkyl and phenyl being optionally substituted by halo, -OR^5, -OR^{12}, -CN, -CO_2R^7, -$CONR^5R^5$, -$OCONR^5R^5$, -$C(=NR^5)NR^5OR^5$, -$CONR^5NR^5R^5$, -$OCONR^5CO_2R^7$, -NR^5R^5, -NR^5R^{12}, -NR^5COR^5, -$NR^5CO_2R^7$, -$NR^5CONR^5R^5$, -$NR^5COCONR^5R^5$, -$NR^5SO_2R^7$, -$SO_2NR^5R^5$ or R^6.--

--84. (New) A compound according to claim 83 wherein R^2 is H, C_1-C_6 alkyl, -(C_1-C_3 alkylene)-NR^5CO-(C_1-C_6 alkyl), -(C_1-C_3 alkylene)-NR^5CONR^5-(C_1-C_6 alkyl), -(C_1-C_3 alkylene)-NR^5CONR^5CO-(phenyl), -(C_1-C_3 alkylene)-$NR^5SO_2R^6$, -(C_1-C_3 alkylene)-NR^5COR^6, -(C_1-C_3 alkylene)-NR^5CO-(phenyl), each C_1-C_6 alkyl and phenyl being optionally substituted by halo, -OR^5, -CN, -CO_2R^7, -$CONR^5R^5$, -$OCONR^5R^5$, -$OCONR^5CO_2R^7$, -NR^5R^5, -$NR^5CONR^5R^5$, -$NR^5COCONR^5R^5$ or R^6.--

--85. (New) A compound according to claim 84 wherein R^2 is H, C_1-C_3 alkyl, -(C_1-C_2 alkylene)-NHCO-(C_1-C_3 alkyl), -(C_1-C_2 alkylene)-NHCONH-(C_1-C_3 alkyl), -(C_1-C_2 alkylene)-NHCONHCO-(phenyl), -(C_1-C_2 alkylene)-$NHSO_2R^6$, -(C_1-C_2 alkylene)-$NHCOR^6$, -(C_1-C_2 alkylene)-NHCO-(phenyl), each C_1-C_3 alkyl and phenyl being optionally substituted by fluoro, -OH, -O(C_1-C_6 alkyl), -CN, -CO_2(C_1-C_6 alkyl), -$CONH_2$, -$OCONH_2$, -$OCONHCO_2Ph$, -NH_2, -N(C_1-C_6 alkyl)$_2$, -$NHCONH_2$, -$NHCOCONH_2$ or R^6.--

--86. (New) A compound according to claim 83 wherein R^6 is 2,4-dihydroxypyrimidinyl, 1-methylimidazolyl, tetrahydrofuranyl, 1,5-dimethylpyrazolyl, tetrazolyl, pyridinyl, pyrimidinyl, 3-hydroxypyridazinyl, 2-hydroxypyridinyl, 2-oxo-2H-pyranyl or 1,2,3-thiadiazolyl.--

--87. (New) A compound according to claim 85 wherein R^2 is H, -CH_2OH, -CH_2CH_2OH, -$CH_2CH_2CH_2OH$, -CH_2OCONH_2, -$CH_2CH_2OCONH_2$, -$CH_2OCONHCO_2Ph$, -$CH_2CO_2CH_2CH_3$, -$CH_2CH_2CO_2CH_3$, -$CH_2CH_2CO_2CH_2CH_3$, -$CH_2CH_2CONH_2$, -$CH_2CH_2NH_2$, -$CH_2CH_2CH_2NH_2$, -$CH_2CH_2NHCOCHF_2$, -$CH_2CH_2NHCOCH_2CN$, -$CH_2CH_2NHCOCH_2N(CH_3)_2$, -$CH_2CH_2NHCOCH_2OCH_3$, -$CH_2CH_2NHCOCH_2OH$, -$CH_2CH_2NHCOCH_2OCH_2CH_3$, -$CH_2CH_2NHCOCH_2NHCONH_2$, -$CH_2CH_2NHCOCONH_2$, -$CH_2CH_2NHCONHCH_2CH_2CH_3$, -$CH_2CH_2NHCONHCOPh$, -$CH_2CH_2NHCONHCO$(2,6-difluorophenyl), -$CH_2CH_2NHSO_2$(2,4-dihydroxypyrimidin-5-yl), -$CH_2CH_2NHSO_2$(1-methylimidazol-4-yl), -CH_2CH_2NHCO(tetrahydrofuran-2-yl), -CH_2CH_2NHCO(1,5-dimethylpyrazol-3-yl), -$CH_2CH_2NHCOCH_2$(tetrazol-1-yl), -$CH_2CH_2NHCOPh$, -CH_2CH_2NHCO(pyridin-2-yl), -CH_2CH_2NHCO(pyrimidin-2-yl), -CH_2CH_2NHCO(2-fluorophenyl), -CH_2CH_2NHCO(3-hydroxyphenyl), -CH_2CH_2NHCO(3-hydroxypyridazin-6-yl), -CH_2CH_2NHCO(2-hydroxypyridin-6-yl), -CH_2CH_2NHCO(2-oxo-2H-pyran-5-yl) or -CH_2CH_2NHCO(1,2,3-thiadiazol-4-yl).--

--88. (New) A compound according to claim 76 wherein R^2 is H, methyl, $-CH_2CH_2OH$, $-CH_2CH_2CH_2OH$, $-CH_2CH_2NH_2$, $-CH_2CH_2CH_2NH_2$, $-CH_2CN$, $-CH_2CH_2OCH_3$, $-CH_2CONH_2$, $-CH_2CH_2NHCOCH_2OCH_3$ or azetidin-3-yl.--

--89. (New) A compound according to claim 88 wherein R^2 is $-CH_2CH_2OH$, $-CH_2CH_2NH_2$, $-CH_2CN$ or azetidin-3-yl.--

--90. (New) A compound according to claim 76 wherein R^3 is C_1-C_6 alkyl, $-CO_2R^5$, $-CONR^5R^5$, $-NR^5CO_2R^7$ or $-NR^5R^5$, said C_1-C_6 alkyl being optionally substituted by halo, -CN, $-OR^5$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5COR^5$, $-SO_2NR^5R^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6.--

--91. (New) A compound according to claim 90 wherein R^3 is C_1-C_6 alkyl, $-CO_2R^5$, $-CONR^5R^5$, $-NR^5CO_2R^7$ or $-NR^5R^5$, said C_1-C_6 alkyl being optionally substituted by halo, CN or $-OR^5$.--

--92. (New) A compound according to claim 91 wherein R^3 is C_1-C_3 alkyl, $-CO_2(C_1$-C_2 alkyl), $-CONH_2$, $-NHCO_2(C_1$-C_4 alkyl), $-N(CH_3)_2$ or $-NH_2$, said C_1-C_3 alkyl being optionally substituted by halo, -CN or -OH.--

--93. (New) A compound according to claim 92 wherein R^3 is methyl, ethyl, prop-2-yl, hydroxymethyl, cyanomethyl, trifluoromethyl, $-CO_2CH_2CH_3$, $-CONH_2$, $-NHCO_2C(CH_3)_3$, $-N(CH_3)_2$ or $-NH_2$.--

--94. (New) A compound according to claim 93 wherein R^3 is methyl, ethyl, prop-2-yl or trifluoromethyl.--

--95. (New) A compound according to claim 94 wherein R^3 is ethyl.--

--96. (New) A compound according to claim 76 wherein R^4 is phenyl substituted by at least one substituent selected from halo, -CN, C_1-C_6 alkyl, fluoro-(C_1-C_6)-alkyl, C_3-C_7 cycloalkyl and C_1-C_6 alkoxy.--

--97. (New) A compound according to claim 96 wherein R^4 is phenyl substituted by at least one substituent selected from halo, -CN and C_1-C_3 alkyl.--

--98. (New) A compound according to claim 97 wherein R^4 is phenyl substituted by at least one substituent selected from fluoro, chloro, bromo, -CN and methyl.--

--99. (New) A compound according to claim 98 wherein R^4 is 3-chlorophenyl, 4-chlorophenyl, 3-fluorophenyl, 3,5-dichlorophenyl, 2,6-difluorophenyl, 3,5-difluorophenyl, 3,5-dibromophenyl, 3,5-dicyanophenyl or 3,5-dimethylphenyl.--

--100. (New) A compound according to claim 97 wherein R^4 is (i) phenyl substituted at the 3 position by fluoro, chloro, methyl or cyano or (ii) phenyl substituted at the 3 and 5 positions by two substituents independently chosen from fluoro, chloro, methyl and cyano.--

--101. (New) A compound according to claim 76 wherein X is -CH_2-, -CHR^{11}-, -CO-, -S- or -SO_2-.--

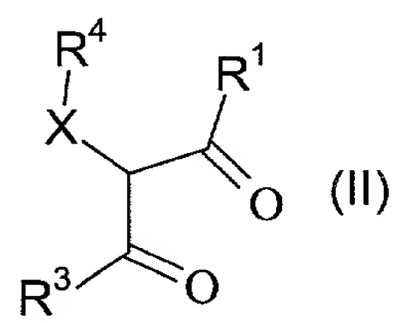
--102. (New) A compound according to claim 101 wherein X is -CH_2-, -$CH(OCH_3)$-, -CO-, -S- or -SO_2-.--

--103. (New) A compound according to claim 102 wherein X is $-CH_2-$ or -S-.--

--104. (New) A pharmaceutical composition comprising a compound of claim 76 or a pharmaceutically acceptable salt or solvate thereof, and a pharmaceutically acceptable excipient, diluent or carrier.--

--105. (New) A process for the preparation of a compound of claim 76, wherein R^1 and R^3 are each either H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl, benzyl, $-NH_2$, $-CO_2R^5$, $-CONR^5R^5$, or C-linked R^6, optionally substituted where allowed, which includes the reaction of

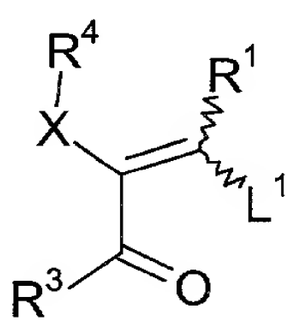
(a) a compound of the formula



(II)

wherein R^1, R^3 and R^4 are as defined in claim 76;

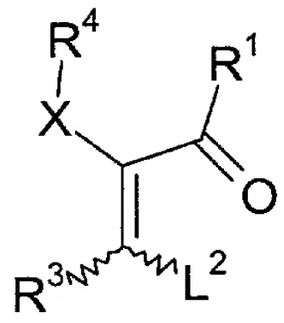
(b) a compound of the formula



(IV)

wherein R^1, R^3, R^4 and X are as defined in claim 76 and L^1 is a leaving group;

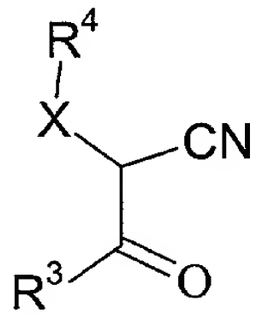
(c) a compound of the formula



(V)

wherein R^1, R^3, R^4 and X are as defined in claim 76 and L^2 is a leaving group;

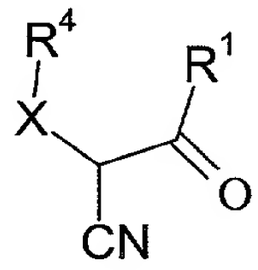
(d) a compound of the formula



(XXX)

wherein R^3, R^4 and X are as defined in claim 76; or

(e) a compound of the formula



(XXXII)

wherein R^1, R^4 and X are as defined in claim 76;

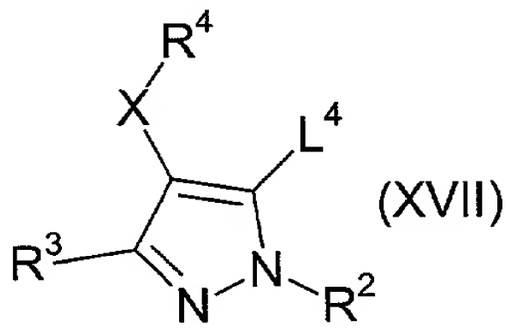
with a compound of the formula

H_2NNHR^2 (III),

wherein R^2 is as defined in claim 76, or a salt or solvate thereof, optionally followed by the conversion of the compound of claim 76 to a pharmaceutically acceptable salt thereof.-

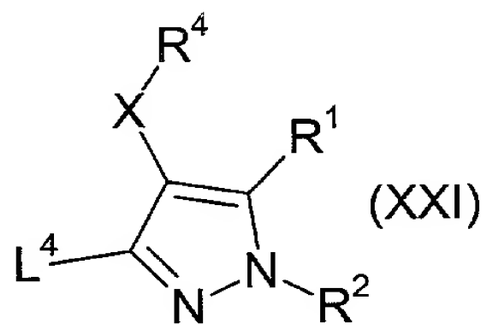
--106. (New) The process of claim 105, wherein the leaving group for the compound of formula IV and V is dimethylamino.--

--107. (New) A process for the preparation of a compound of claim 76, wherein R^1 or R^3 is $-OR^7$, or a pharmaceutically acceptable salt or solvate thereof, includes the reaction of a compound of the formula



(XVII)

wherein R^1, R^3, R^4 and X are as defined in claim 76 and L^4 is a leaving group; or a compound of the formula



(XXI)

wherein R^1, R^3, R^4 and X are as defined in claim 76 and L^4 is a leaving group;

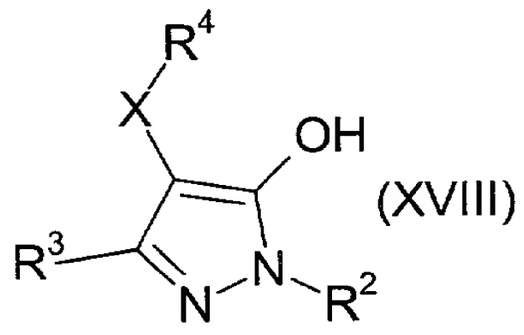
with a compound of the formula

R^7OH (XXV)

wherein R^7 is as defined in claim 76, in the presence of a catalyst optionally followed by the conversion of the compound of claim 76 to a pharmaceutically acceptable salt thereof.--

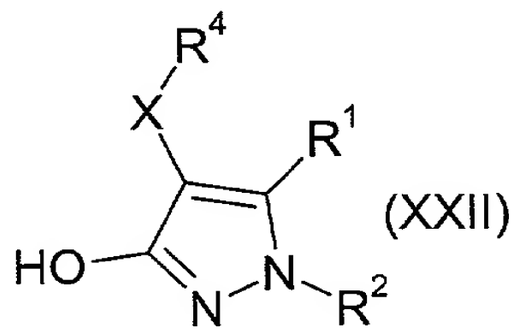
--108. (New) The process of claim 107, wherein said catalyst is a palladium catalyst and said leaving group is trifluoromethanesulphonate.--

--109. (New) A process for the preparation of a compound claim 76, wherein R^1 or R^3 is $-OR^7$, or a pharmaceutically acceptable salt or solvate thereof, which includes the reaction of a compound of the formula



(XVIII)

wherein R^2, R^3, R^4 and X are as defined in claim 76, or a compound of the formula



(XXII)

wherein R^1, R^2, R^4 and X are as defined in claim 76, with a compound of the formula

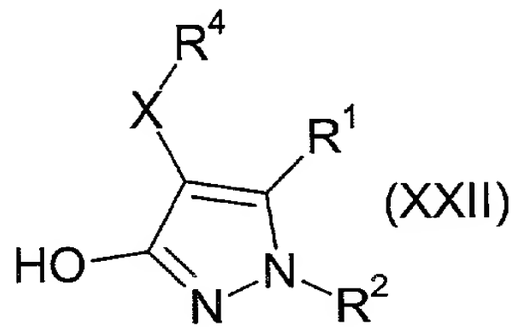
R^7OH (XXV)

wherein R^7 is as defined in claim 76, under dehydrating conditions, optionally followed by the conversion of the said compound to a pharmaceutically acceptable salt thereof.--

--110. (New) The process of claim 109, wherein the reaction is performed in the presence of a dialkylazodicarboxylate and a triarylphosphine.--

--111. (New) The process of claim 110, wherein said dialkylazodicarboxylate is diethylazodicarboxylate and said triarylphosphine is triphenylphosphine,--

--112. (New) A process for the preparation of a compound of the claim 76, wherein R^1 or R^3 is $-OR^7$, or a pharmaceutically acceptable salt or solvate thereof, which includes the reaction of a compound of the formula



(XXII)

wherein R^2, R^3, R^4 and X are as defined in claim 76, or a compound of the formula

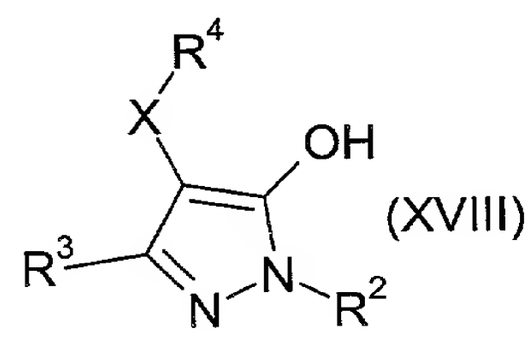
wherein R^1, R^2, R^4 and X are as defined in claim 76, with a compound of the formula

R^7L^7 (XXIX)

wherein R^7 is as defined in claim 76 and L^7 is a leaving group optionally followed by the conversion of said compound to a pharmaceutically acceptable salt thereof.--

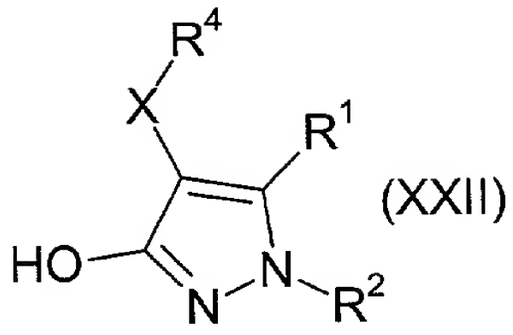
--113. (New) The process of claim 112, wherein the leaving group is a halo group.--

--114. (New) A process for the preparation of a compound of claim 76, wherein R^1 or R^3 is $-OCONR^5R^5$, or a pharmaceutically acceptable salt or solvate thereof, which includes the reaction of a compound of the formula



(XVIII)

wherein R^2, R^3, R^4 and X are as defined in claim 76, or a compound of the formula



(XXII)

wherein R^1, R^2, R^4 and X are as defined in claim 76,with a compound of the formula

$R^5R^5NCOL^5$ (XXVI)

in which R^5 is as defined in claim 76 and L^5 is a leaving group or with a compound of the formula

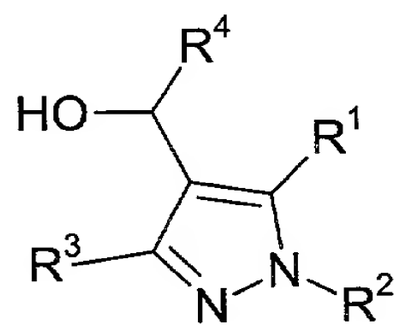
$R^5N=C=O$ (XXVII)

in which R^5 is as defined in claim 76, optionally followed by the conversion of said compound to a pharmaceutically acceptable salt thereof.--

--115. (New) The process of claim 114, wherein said leaving group is chloro.--

--116. (New) A process for the preparation of a compound of claim 76, wherein X is -CO- or $-CHR^{10}-$ and R^{10} is C_1-C_6 alkoxy, or a pharmaceutically acceptable salt or solvate thereof, which includes

(a) the oxidation of a compound of the formula



(XXXIV)

wherein R^1, R^2, R^3 and R^4 are as defined in claim 76, or

(b) the reaction of a compound of the formula (XXXIV), as defined above, with a compound of the formula

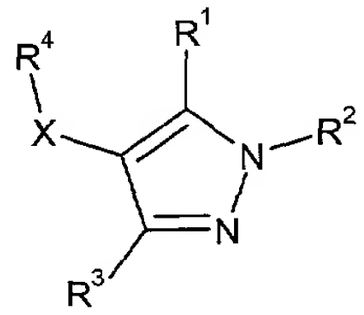
R^bL^8 (XXXVIII)

wherein R^b is C_1-C_6 alkyl and L^8 is a leaving group, optionally followed by the conversion said compound to a pharmaceutically acceptable salt thereof.--

--117. (New) The process of claim 116, wherein said leaving group is chloro, bromo or iodo.--

--118. (New) A process for the preparation of a compound of the claim 76, containing an -OH, -NH- or -NH_2 group or a pharmaceutically acceptable salt or solvate thereof, which includes the deprotection of a corresponding compound bearing an -OP^1, -NP^1- or -NHP^1 group, respectively, wherein the group P^1 is a protecting group, optionally followed by the conversion of said compound to a pharmaceutically acceptable salt thereof.--

--119. (New) A method for the treatment of a human immunodeficiency viral (HIV), a genetically related retroviral infection or a resulting acquired immunodeficiency syndrome (AIDS) comprising the administration of an effective amount of a compound of the formula (I)



(I)

or a pharmaceutically acceptable salt or solvate thereof, wherein

either (i) R^1 is H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl, benzyl, halo, -CN, -OR^7, -OR^8, -CO_2R^5, -$CONR^5R^5$, -$OCONR^5R^5$, -$NR^5CO_2R^7$, -NR^5R^5, -NR^5COR^5, -NR^5CO-(C_1-C_6 alkylene)-OR^5, -$NR^5CONR^5R^5$, -$NR^5SO_2R^7$ or R^6, said C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR^5, -OR^8, -CO_2R^5, -$CONR^5R^5$,

$-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^8R^9$, $-NR^5COR^5$, $-NR^5COR^6$, $-NR^5COR^8$, $-SO_2NR^5R^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6, and

R^2 is H or -Y-Z,

or, (ii) R^1 and R^2, when taken together, represent unbranched C_3-C_4 alkylene, optionally wherein one methylene group of said C_3-C_4 alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R^5 or R^8;

Y is a direct bond or C_1-C_3 alkylene;

Z is R^{10} or, where Y is C_1-C_3 alkylene, Z is $-NR^5COR^{10}$, $-NR^5CONR^5R^{10}$, $-NR^5CONR^5COR^{10}$ or $-NR^5SO_2R^{10}$;

R^3 is H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl, benzyl, -CN, halo, $-OR^7$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5COR^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6, said C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, $-OR^5$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5COR^5$, $-SO_2NR^5R^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6;

R^4 is phenyl or pyridyl, each being optionally substituted by R^6, halo, -CN, C_1-C_6 alkyl, fluoro-(C_1-C_6)-alkyl, C_3-C_7 cycloalkyl or C_1-C_6 alkoxy;

each R^5 is independently either H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, fluoro-(C_1-C_6)-alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl or morpholinyl, said azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl and morpholinyl being optionally substituted by C_1-C_6 alkyl or C_3-C_7 cycloalkyl and said piperazinyl and homopiperazinyl being optionally substituted on the nitrogen atom not taken together with the two R^5 groups to form the ring by $-COR^7$ or $-SO_2R^7$;

R^6 is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said

heterocyclic group being optionally substituted by $-OR^5$, $-NR^5R^5$, -CN, oxo, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, $-COR^7$ or halo;

R^7 is C_1-C_6 alkyl, C_3-C_7 cycloalkyl, fluoro-(C_1-C_6)-alkyl, phenyl or benzyl;

R^8 is C_1-C_6 alkyl substituted by phenyl, phenoxy, pyridyl or pyrimidinyl, said phenyl, phenoxy, pyridyl and pyrimidinyl being optionally substituted by halo, -CN, $-CONR^5R^5$, $-SO_2NR^5R^5$, $-NR^5SO_2R^7$, $-NR^5R^5$, -(C_1-C_6 alkylene)-NR^5R^5, C_1-C_6 alkyl, fluoro-(C_1-C_6)-alkyl, C_3-C_7 cycloalkyl or C_1-C_6 alkoxy;

R^9 is H, C_1-C_6 alkyl or C_3-C_7 cycloalkyl, said C_1-C_6 alkyl and C_3-C_7 cycloalkyl being optionally substituted by $-OR^5$, $-NR^5R^5$, $-NR^5COR^5$, $-CONR^5R^5$ or R^6;

R^{10} is C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_3-C_7 cycloalkyl, phenyl, benzyl or C-linked R^6, said C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, $-OR^5$, $-OR^{12}$, -CN, $-CO_2R^7$, $-CONR^5R^5$, $-OCONR^5R^5$, $-C(=NR^5)NR^5OR^5$, $-CONR^5NR^5R^5$, $-OCONR^5CO_2R^7$, $-NR^5R^5$, $-NR^5R^{12}$, $-NR^5COR^5$, $-NR^5CO_2R^7$, $-NR^5CONR^5R^5$, $-NR^5COCONR^5R^5$, $-NR^5SO_2R^7$, $-SO_2NR^5R^5$ or R^6;

X is $-CH_2-$, $-CHR^{11}-$, -CO-, -S-, -SO- or $-SO_2-$;

R^{11} is C_1-C_6 alkyl, C_3-C_7 cycloalkyl, fluoro-(C_1-C_6)-alkyl or C_1-C_6 alkoxy; and

R^{12} is C_1-C_6 alkyl substituted by R^6, $-OR^5$, $-CONR^5R^5$, $-NR^5COR^5$ or $-NR^5R^5$.

--120. (New) The method of claim 119, wherein R^1 is C_1-C_6 alkyl, $-OR^7$, $-CO_2R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5CO$-(C_1-C_6 alkylene)-OR^5 or R^6, said C_1-C_6 alkyl being optionally substituted by halo, -CN, $-OR^5$, $-OR^8$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^8R^9$, $-NR^5COR^5$, $-NR^5COR^6$, $-NR^5COR^8$, $-SO_2NR^5R^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6.--

--121. (New) The method of claim 120, wherein R^1 is C_1-C_6 alkyl, $-OR^7$, $-CO_2R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5CO$-(C_1-C_6 alkylene)-OR^5 or R^6, said C_1-C_6 alkyl being optionally substituted by halo or $-OR^5$.--

--122. (New) The method of claim 121, wherein R^1 is C_1-C_3 alkyl, -OCH_3, -CO_2(C_1-C_2 alkyl), -$NHCO_2$(C_1-C_2 alkyl), -NH_2, -$N(CH_3)_2$, -$NHCOCH_2OCH_3$ or furanyl, said C_1-C_3 alkyl being optionally substituted by fluoro or -OH.--

--123. (New) The method of claim 122, wherein R^1 is methyl, ethyl, prop-2-yl, hydroxymethyl, trifluoromethyl, -OCH_3, -$CO_2CH_2CH_3$, -$NHCO_2CH_2CH_3$, -NH_2, -$N(CH_3)_2$, -$NHCOCH_2OCH_3$ or furan-2-yl.--

--124. (New) The method of claim 123, wherein R^1 is ethyl.--

--125. (New) The method of claim 119 wherein R^1 is methyl, ethyl, trifluoromethyl or -CH_2NHCH_2(4-cyanophenyl).--

--126. (New) The method of claim 119 wherein R^2 is H, C_1-C_6 alkyl, -(C_1-C_3 alkylene)-NR^5CO-(C_1-C_6 alkyl), -(C_1-C_3 alkylene)-NR^5CONR^5-(C_1-C_6 alkyl), -(C_1-C_3 alkylene)-NR^5CONR^5CO-(phenyl), -(C_1-C_3 alkylene)-NR^5SO_2(C-linked R^6), -(C_1-C_3 alkylene)-NR^5CO(C-linked R^6), -(C_1-C_3 alkylene)-NR^5CO-(phenyl), each C_1-C_6 alkyl and phenyl being optionally substituted by halo, -OR^5, -OR^{12}, -CN, -CO_2R^7, -$CONR^5R^5$, -$OCONR^5R^5$, -$C(=NR^5)NR^5OR^5$, -$CONR^5NR^5R^5$, -$OCONR^5CO_2R^7$, -NR^5R^5, -NR^5R^{12}, -NR^5COR^5, -$NR^5CO_2R^7$, -$NR^5CONR^5R^5$, -$NR^5COCONR^5R^5$, -$NR^5SO_2R^7$, -$SO_2NR^5R^5$ or R^6.—

--127. (New) The method of claim 126, wherein R^2 is H, C_1-C_6 alkyl, -(C_1-C_3 alkylene)-NR^5CO-(C_1-C_6 alkyl), -(C_1-C_3 alkylene)-NR^5CONR^5-(C_1-C_6 alkyl), -(C_1-C_3 alkylene)-NR^5CONR^5CO-(phenyl), -(C_1-C_3 alkylene)-$NR^5SO_2R^6$, -(C_1-C_3 alkylene)-NR^5COR^6, -(C_1-C_3 alkylene)-NR^5CO-(phenyl), each C_1-C_6 alkyl and phenyl being optionally substituted by halo, -OR^5, -CN, -CO_2R^7, -$CONR^5R^5$, -$OCONR^5R^5$, -$OCONR^5CO_2R^7$, -NR^5R^5, -$NR^5CONR^5R^5$, -$NR^5COCONR^5R^5$ or R^6.--

--128. (New) The method of claim 127, wherein R^2 is H, C_1-C_3 alkyl, -(C_1-C_2 alkylene)-NHCO-(C_1-C_3 alkyl), -(C_1-C_2 alkylene)-NHCONH-(C_1-C_3 alkyl), -(C_1-C_2 alkylene)-NHCONHCO-(phenyl), -(C_1-C_2 alkylene)-$NHSO_2R^6$, -(C_1-C_2 alkylene)-$NHCOR^6$, -(C_1-C_2 alkylene)-NHCO-(phenyl), each C_1-C_3 alkyl and phenyl being optionally substituted by fluoro, -OH, -O(C_1-C_6 alkyl), -CN, -CO_2(C_1-C_6 alkyl), -$CONH_2$, -$OCONH_2$, -$OCONHCO_2Ph$, -NH_2, -N(C_1-C_6 alkyl)$_2$, -$NHCONH_2$, -$NHCOCONH_2$ or R^6.--

--129. (New) The method of claim 126, wherein R^6 is 2,4-dihydroxypyrimidinyl, 1-methylimidazolyl, tetrahydrofuranyl, 1,5-dimethylpyrazolyl, tetrazolyl, pyridinyl, pyrimidinyl, 3-hydroxypyridazinyl, 2-hydroxypyridinyl, 2-oxo-2H-pyranyl or 1,2,3-thiadiazolyl.--

--130. (New) The method of claim 128, wherein R^2 is H, -CH_2OH, -CH_2CH_2OH, -$CH_2CH_2CH_2OH$, -CH_2OCONH_2, -$CH_2CH_2OCONH_2$, -$CH_2OCONHCO_2Ph$, -$CH_2CO_2CH_2CH_3$, -$CH_2CH_2CO_2CH_3$, -$CH_2CH_2CO_2CH_2CH_3$, -$CH_2CH_2CONH_2$, -$CH_2CH_2NH_2$, -$CH_2CH_2CH_2NH_2$, -$CH_2CH_2NHCOCHF_2$, -$CH_2CH_2NHCOCH_2CN$, -$CH_2CH_2NHCOCH_2N(CH_3)_2$, -$CH_2CH_2NHCOCH_2OCH_3$, -$CH_2CH_2NHCOCH_2OH$, -$CH_2CH_2NHCOCH_2OCH_2CH_3$, -$CH_2CH_2NHCOCH_2NHCONH_2$, -$CH_2CH_2NHCOCONH_2$, -$CH_2CH_2NHCONHCH_2CH_2CH_3$, -$CH_2CH_2NHCONHCOPh$, -$CH_2CH_2NHCONHCO$(2,6-difluorophenyl), -$CH_2CH_2NHSO_2$(2,4-dihydroxypyrimidin-5-yl), -$CH_2CH_2NHSO_2$(1-methylimidazol-4-yl), -CH_2CH_2NHCO(tetrahydrofuran-2-yl), -CH_2CH_2NHCO(1,5-dimethylpyrazol-3-yl), -$CH_2CH_2NHCOCH_2$(tetrazol-1-yl), -$CH_2CH_2NHCOPh$, -CH_2CH_2NHCO(pyridin-2-yl), -CH_2CH_2NHCO(pyrimidin-2-yl), -CH_2CH_2NHCO(2-fluorophenyl), -CH_2CH_2NHCO(3-hydroxyphenyl), -CH_2CH_2NHCO(3-hydroxypyridazin-6-yl), -CH_2CH_2NHCO(2-hydroxypyridin-6-yl), -CH_2CH_2NHCO(2-oxo-2H-pyran-5-yl) or -CH_2CH_2NHCO(1,2,3-thiadiazol-4-yl).--

--131. (New) The method of claim 119, wherein R^2 is H, methyl, $-CH_2CH_2OH$, $-CH_2CH_2CH_2OH$, $-CH_2CH_2NH_2$, $-CH_2CH_2CH_2NH_2$, $-CH_2CN$, $-CH_2CH_2OCH_3$, $-CH_2CONH_2$, $-CH_2CH_2NHCOCH_2OCH_3$ or azetidin-3-yl.--

--132. (New) The method of claim 131 wherein R^2 is $-CH_2CH_2OH$, $-CH_2CH_2NH_2$, $-CH_2CN$ or azetidin-3-yl.--

--133. (New) The method of claim 132 wherein R^3 is C_1-C_6 alkyl, $-CO_2R^5$, $-CONR^5R^5$, $-NR^5CO_2R^7$ or $-NR^5R^5$, said C_1-C_6 alkyl being optionally substituted by halo, -CN, $-OR^5$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5COR^5$, $-SO_2NR^5R^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6.--

--134. (New) The method of claim 133 wherein R^3 is C_1-C_6 alkyl, $-CO_2R^5$, $-CONR^5R^5$, $-NR^5CO_2R^5$ or $-NR^5R^5$, said C_1-C_6 alkyl being optionally substituted by halo, -CN or $-OR^5$.--

--135. (New) The method of claim 134 wherein R^3 is C_1-C_3 alkyl, $-CO_2(C_1$-C_2 alkyl), $-CONH_2$, $-NHCO_2(C_1$-C_4 alkyl), $-N(CH_3)_2$ or $-NH_2$, said C_1-C_3 alkyl being optionally substituted by halo, -CN or -OH.--

--136. (New) The method of claim 135 wherein R^3 is methyl, ethyl, prop-2-yl, hydroxymethyl, cyanomethyl, trifluoromethyl, $-CO_2CH_2CH_3$, $-CONH_2$, $-NHCO_2C(CH_3)_3$, $-N(CH_3)_2$ or $-NH_2$.--

--137. (New) The method of claim 136 wherein R^3 is methyl, ethyl, prop-2-yl or trifluoromethyl.--

--138. (New) The method of claim 137 wherein R^3 is ethyl.--

--139. (New) The method of claim 119 wherein R^4 is phenyl optionally substituted by R^6, halo, -CN, C_1-C_6 alkyl, fluoro-(C_1-C_6)-alkyl, C_3-C_7 cycloalkyl or C_1-C_6 alkoxy.--

--140. (New) The method of claim 139 wherein R^4 is phenyl substituted by halo, -CN or C_1-C_3 alkyl.--

--141. (New) The method of claim 140 wherein R^4 is phenyl substituted by fluoro, chloro, bromo, -CN, or methyl.--

--142. (New) The method of claim 141 wherein R^4 is 3-chlorophenyl, 4-chlorophenyl, 3-fluorophenyl, 3,5-dichlorophenyl, 2,6-difluorophenyl, 3,5-difluorophenyl, 3,5-dibromophenyl, 3,5-dicyanophenyl or 3,5-dimethylphenyl.--

--143. (New) The method of claim 142 wherein R^4 is (i) phenyl substituted at the 3 position by fluoro, chloro, methyl or cyano or (ii) phenyl substituted at the 3 and 5 positions by two substituents independently chosen from fluoro, chloro, methyl and cyano.--

--144. (New) The method of claim 119 wherein X is -CH_2-, -CHR^{11}-, -CO-, -S- or -SO_2-.--

--145. (New) The method of claim 144 wherein X is -CH_2-, -$CH(OCH_3)$-, -CO-, -S- or -SO_2-.--

--146. (New) The method of claim 145 wherein X is -CH_2- or -S-.--

--147. (New) The method of claim 119 wherein the compound of the formula (I) is selected from the group consisting of: 2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1*H*-pyrazol-1-yl]ethanol;

ethyl [4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]acetate;

ethyl [4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

N^1-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}ethanediamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-6-oxo-1,6-dihydro-3-pyridazinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1,5-dimethyl-1*H*-pyrazole-3-carboxamide;

2-[(aminocarbonyl)amino]-*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}acetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-ethoxyacetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-pyridinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-methoxyacetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-6-oxo-1,6-dihydro-2-pyridinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-pyrazinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-oxo-2*H*-pyran-5-carboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-(1*H*-tetraazol-1-yl)acetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}tetrahydro-2-furancarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-3-hydroxybenzamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-hydroxyacetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1,2,3-thiadiazole-4-carboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-(dimethylamino)acetamide;

2-cyano-*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}acetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-fluorobenzamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-*N*'-propylurea;

N-benzoyl-*N*'-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}urea;

2-[4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

ethyl [4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

ethyl [4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1*H*-pyrazol-1-yl]acetate;

4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazole;

2-[4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;

2-{4-[(4-chlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;

ethyl [4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

ethyl [4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;

4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;

4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;

4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;

2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;

2-{4-[(3,5-dichlorophenyl)sulfonyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;

4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazole;

2-[4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanamine;

2-[4-(3,5-dichlorobenzyl)-5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-3-ethyl-5-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-ethyl-3-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-3-ethyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-3-(dimethylamino)-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dimethylbenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-methoxy-3-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-(2-furyl)-3-methyl-1*H*-pyrazol-1-yl]ethanol;

(3,5-dichlorophenyl)[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]methanone;

(±)-2-{4-[(3,5-dichlorophenyl)(methoxy)methyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol;

2-[4-(2,6-difluorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl carbamate;

methyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanoate;

ethyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanoate;

3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanamide;

3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]-1-propanol;

[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methanol;

[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methyl carbamate;

2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanamine;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}benzamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1-methyl-1*H*-imidazole-4-sulfonamide;

ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-3-carboxylate;

ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-5-carboxylate;

4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-3-carboxamide;

2-[4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-3-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;

3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]-1-propanamine;

2-[4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2,2-difluoroacetamide;

[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methyl phenyl imidodicarbonate;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-*N'*-(2,6-difluorobenzoyl)urea;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2,4-dioxo-1,2,3,4-tetrahydro-5-pyrimidinesulfonamide;

ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1*H*-pyrazole-3-carboxylate;

[4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-3-yl]acetonitrile;

[4-[(3,5-dichlorophenyl)sulfonyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-3-yl]acetonitrile;

2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol;

4-(3,5-dichlorobenzyl)-3-ethyl-1*H*-pyrazol-5-amine;

ethyl 4-(3,5-dichlorobenzyl)-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-5-ylcarbamate;

N-[4-(3,5-dichlorobenzyl)-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-5-yl]-2-methoxyacetamide;

2-[4-(3,5-dichlorobenzyl)-5-(dimethylamino)-3-ethyl-1*H*-pyrazol-1-yl]ethanol;

ethyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1*H*-pyrazole-3-carboxylate;

ethyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-3-methyl-1*H*-pyrazole-5-carboxylate;

tert-butyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1*H*-pyrazol-3-ylcarbamate;

2-[3-amino-4-(3,5-dichlorobenzyl)-5-methyl-1*H*-pyrazol-1-yl]ethanol;

ethyl [4-(3,5-dichlorobenzyl)-5-methoxy-3-methyl-1*H*-pyrazol-1-yl]acetate;

2-[5-amino-4-(3,5-dichlorobenzyl)-3-ethyl-1*H*-pyrazol-1-yl]ethanol;

5-{[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]methyl}isophthalonitrile;

5-[(3,5-diethyl-1*H*-pyrazol-4-yl)methyl]isophthalonitrile;

5-{[1-(2-aminoethyl)-3,5-diethyl-1*H*-pyrazol-4-yl]methyl}isophthalonitrile;

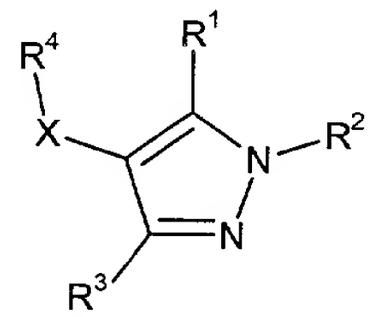
2-{4-[(3,5-dibromophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol; and

5-{[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]sulfanyl}isophthalonitrile;

and the pharmaceutically acceptable salts and solvates thereof.--

--148. (New) The method of claim 147, wherein said compound selected from the group consisting of 2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol; 2-[4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol; and 2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol.--

--149. (New) A method for the treatment of a human immunodeficiency viral (HIV), or genetically related retroviral, infection or a resulting acquired immunodeficiency syndrome (AIDS) comprising the administration of an effective amount of a compound of formula (Ia)



(Ia)

or a pharmaceutically acceptable salt or solvate thereof, wherein:

R^1 is H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl, benzyl, halo, -OR^5, -CO_2R^5, -$CONR^5R^6$, -$OCONR^5R^6$, -$NR^5CO_2R^6$, -NR^5R^6, -NR^5COR^6, -$SO_2NR^5R^6$, -$NR^5CONR^6R^7$, -$NR^5SO_2R^6$ or R^8, said C_1-C_6 alkyl, phenyl and benzyl being optionally substituted by halo, -OR^5, -CO_2R^5, -$CONR^5R^6$, -$OCONR^5R^6$, -$NR^5CO_2R^6$, -NR^5R^6, -NR^5COR^6, -$SO_2NR^5R^6$, -$NR^5CONR^6R^7$, -$NR^5SO_2R^6$ or R^8;

R^2 is H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl, benzyl or C-linked R^{12}, said C_1-C_6 alkyl, phenyl and benzyl being optionally substituted by -OR^9, -CO_2R^9, -$CO_2NR^9R^{10}$, -NR^9R^{10}, -NR^9COR^{10}, -$NR^9CO_2R^{10}$, -$NR^9CONR^{10}R^{11}$, -$SO_2NR^9R^{10}$, -$NR^9SO_2R^{10}$ or R^{12};

R^3 is H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl, benzyl, halo, -OR^{13}, -CO_2R^{13}, -$CONR^{13}R^{14}$, -$OCONR^{13}R^{14}$, -$NR^{13}CO_2R^{14}$, -$NR^{13}R^{14}$, -$NR^{13}COR^{14}$, -$SO_2NR^{13}R^{14}$, -$NR^{13}CONR^{14}R^{15}$, -$NR^{13}SO_2R^{14}$ or R^{16}, said C_1-C_6 alkyl, phenyl and benzyl being optionally substituted by halo, -OR^{13}, -CO_2R^{13}, -$CONR^{13}R^{14}$, -$OCONR^{13}R^{14}$, -$NR^{13}CO_2R^{14}$, -$NR^{13}R^{14}$, -$NR^{13}COR^{14}$, -$SO_2NR^{13}R^{14}$, -$NR^{13}CONR^{14}R^{15}$, -$NR^{13}SO_2R^{14}$ or R^{16};

R^4 is phenyl or pyridyl, each being optionally substituted by halo, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_7 cycloalkyl or C_1-C_6 alkoxy;

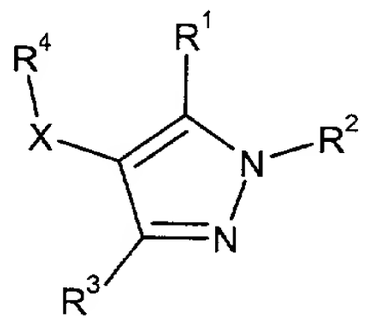
R^5, R^6, R^7, R^9, R^{10}, R^{11}, R^{13}, R^{14} and R^{15} are either each H, C_1-C_6 alkyl or C_3-C_6 cycloalkyl or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached may represent azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl or

morpholinyl, said azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl and morpholinyl being optionally substituted by C_1-C_6 alkyl or C_3-C_7 cycloalkyl;

R^8, R^{12} and R^{16} are each a five- or six-membered heterocyclic group containing 1 to 4 heteroatoms selected from O, N and S and optionally substituted by oxo, C_1-C_6 alkyl, C_3-C_7 cycloalkyl or halo; and

X is $-CH_2-$, -S-, -SO- or $-SO_2-$.--

--150. (New) A method for the treatment of a disorder treatable by the inhibition of reverse transcriptase, comprising the administration of an effective amount of a compound of the formula (I),



(I)

or a pharmaceutically acceptable salt or solvate thereof, wherein

either (i) R^1 is H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl, benzyl, halo, -CN, $-OR^7$, $-OR^8$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5COR^5$, $-NR^5CO-(C_1$-C_6 alkylene)$-OR^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6, said C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, $-OR^5$, $-OR^8$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^8R^9$, $-NR^5COR^5$, $-NR^5COR^6$, $-NR^5COR^8$, $-SO_2NR^5R^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6, and

R^2 is H or -Y-Z,

or, (ii) R^1 and R^2, when taken together, represent unbranched C_3-C_4 alkylene, optionally wherein one methylene group of said C_3-C_4 alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R^5 or R^8;

Y is a direct bond or C_1-C_3 alkylene;

Z is R^{10} or, where Y is C_1-C_3 alkylene, Z is $-NR^5COR^{10}$, $-NR^5CONR^5R^{10}$, $-NR^5CONR^5COR^{10}$ or $-NR^5SO_2R^{10}$;

R^3 is H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl, benzyl, -CN, halo, $-OR^7$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5COR^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6, said C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, $-OR^5$, $-CO_2R^5$, $-CONR^5R^5$, $-OCONR^5R^5$, $-NR^5CO_2R^7$, $-NR^5R^5$, $-NR^5COR^5$, $-SO_2NR^5R^5$, $-NR^5CONR^5R^5$, $-NR^5SO_2R^7$ or R^6;

R^4 is phenyl or pyridyl, each being optionally substituted by R^6, halo, -CN, C_1-C_6 alkyl, fluoro-(C_1-C_6)-alkyl, C_3-C_7 cycloalkyl or C_1-C_6 alkoxy;

each R^5 is independently either H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, fluoro-(C_1-C_6)-alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl or morpholinyl, said azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl and morpholinyl being optionally substituted by C_1-C_6 alkyl or C_3-C_7 cycloalkyl and said piperazinyl and homopiperazinyl being optionally substituted on the nitrogen atom not taken together with the two R^5 groups to form the ring by $-COR^7$ or $-SO_2R^7$;

R^6 is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said heterocyclic group being optionally substituted by $-OR^5$, $-NR^5R^5$, -CN, oxo, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, $-COR^7$ or halo;

R^7 is C_1-C_6 alkyl, C_3-C_7 cycloalkyl, fluoro-(C_1-C_6)-alkyl, phenyl or benzyl;

R^8 is C_1-C_6 alkyl substituted by phenyl, phenoxy, pyridyl or pyrimidinyl, said phenyl, phenoxy, pyridyl and pyrimidinyl being optionally substituted by halo, -CN, $-CONR^5R^5$, $-SO_2NR^5R^5$, $-NR^5SO_2R^7$, $-NR^5R^5$, -(C_1-C_6 alkylene)-NR^5R^5, C_1-C_6 alkyl, fluoro-(C_1-C_6)-alkyl, C_3-C_7 cycloalkyl or C_1-C_6 alkoxy;

R^9 is H, C_1-C_6 alkyl or C_3-C_7 cycloalkyl, said C_1-C_6 alkyl and C_3-C_7 cycloalkyl being optionally substituted by -OR^5, -NR^5R^5, -NR^5COR^5, -$CONR^5R^5$ or R^6;

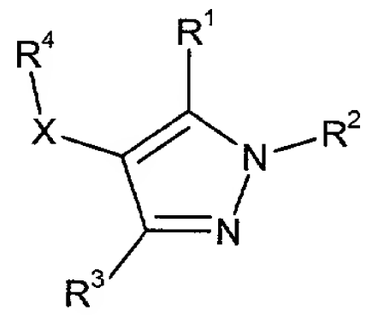
R^{10} is C_1-C_6 alkyl, C_3-C_6 alkenyl, C_3-C_6 alkynyl, C_3-C_7 cycloalkyl, phenyl, benzyl or C-linked R^6, said C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl and benzyl being optionally substituted by halo, -OR^5, -OR^{12}, -CN, -CO_2R^7, -$CONR^5R^5$, -$OCONR^5R^5$, -$C(=NR^5)NR^5OR^5$, -$CONR^5NR^5R^5$, -$OCONR^5CO_2R^7$, -NR^5R^5, -NR^5R^{12}, -NR^5COR^5, -$NR^5CO_2R^7$, -$NR^5CONR^5R^5$, -$NR^5COCONR^5R^5$, -$NR^5SO_2R^7$, -$SO_2NR^5R^5$ or R^6;

X is -CH_2-, -CHR^{11}-, -CO-, -S-, -SO- or -SO_2-;

R^{11} is C_1-C_6 alkyl, C_3-C_7 cycloalkyl, fluoro-(C_1-C_6)-alkyl or C_1-C_6 alkoxy; and

R^{12} is C_1-C_6 alkyl substituted by R^6, -OR^5, -$CONR^5R^5$, -NR^5COR^5 or -NR^5R^5

or a compound of the formula (Ia)



(Ia)

or a pharmaceutically acceptable salt or solvate thereof, wherein:

R^1 is H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl, benzyl, halo, -OR^5, -CO_2R^5, -$CONR^5R^6$, -$OCONR^5R^6$, -$NR^5CO_2R^6$, -NR^5R^6, -NR^5COR^6, -$SO_2NR^5R^6$, -$NR^5CONR^6R^7$, -$NR^5SO_2R^6$ or R^8, said C_1-C_6 alkyl, phenyl and benzyl being optionally substituted by halo, -OR^5, -CO_2R^5, -$CONR^5R^6$, -$OCONR^5R^6$, -$NR^5CO_2R^6$, -NR^5R^6, -NR^5COR^6, -$SO_2NR^5R^6$, -$NR^5CONR^6R^7$, -$NR^5SO_2R^6$ or R^8;

R^2 is H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl, benzyl or C-linked R^{12}, said C_1-C_6 alkyl, phenyl and benzyl being optionally substituted by -OR^9, -CO_2R^9, -$CO_2NR^9R^{10}$, -NR^9R^{10}, -NR^9COR^{10}, -$NR^9CO_2R^{10}$, -$NR^9CONR^{10}R^{11}$, -$SO_2NR^9R^{10}$, -$NR^9SO_2R^{10}$ or R^{12};R^3 is H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl, phenyl, benzyl, halo, -OR^{13}, -CO_2R^{13}, -$CONR^{13}R^{14}$, -$OCONR^{13}R^{14}$, -$NR^{13}CO_2R^{14}$, -$NR^{13}R^{14}$, -$NR^{13}COR^{14}$, -$SO_2NR^{13}R^{14}$, -$NR^{13}CONR^{14}R^{15}$, -$NR^{13}SO_2R^{14}$ or R^{16}, said C_1-C_6 alkyl, phenyl and benzyl being optionally substituted by halo, -OR^{13}, -CO_2R^{13},

$-CONR^{13}R^{14}$, $-OCONR^{13}R^{14}$, $-NR^{13}CO_2R^{14}$, $-NR^{13}R^{14}$, $-NR^{13}COR^{14}$, $-SO_2NR^{13}R^{14}$, $-NR^{13}CONR^{14}R^{15}$, $-NR^{13}SO_2R^{14}$ or R^{16};

R^4 is phenyl or pyridyl, each being optionally substituted by halo, C_1-C_6 alkyl, C_1-C_6 haloalkyl, C_3-C_7 cycloalkyl or C_1-C_6 alkoxy;

R^5, R^6, R^7, R^9, R^{10}, R^{11}, R^{13}, R^{14} and R^{15} are either each H, C_1-C_6 alkyl or C_3-C_6 cycloalkyl or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached may represent azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl or morpholinyl, said azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl and morpholinyl being optionally substituted by C_1-C_6 alkyl or C_3-C_7 cycloalkyl;

R^8, R^{12} and R^{16} are each a five- or six-membered heterocyclic group containing 1 to 4 heteroatoms selected from O, N and S and optionally substituted by oxo, C_1-C_6 alkyl, C_3-C_7 cycloalkyl or halo; and

X is $-CH_2-$, -S-, -SO- or $-SO_2-$ to a patient in need of such treatment.--

--151. (New) A compound selected from the group consisting of: 2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1*H*-pyrazol-1-yl]ethanol;

ethyl [4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]acetate;

ethyl [4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

N^1-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}ethanediamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-6-oxo-1,6-dihydro-3-pyridazinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1,5-dimethyl-1*H*-pyrazole-3-carboxamide;

2-[(aminocarbonyl)amino]-*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}acetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-ethoxyacetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-pyridinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-methoxyacetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-6-oxo-1,6-dihydro-2-pyridinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-pyrazinecarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-oxo-2*H*-pyran-5-carboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-(1*H*-tetraazol-1-yl)acetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}tetrahydro-2-furancarboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-3-hydroxybenzamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-hydroxyacetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1,2,3-thiadiazole-4-carboxamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-(dimethylamino)acetamide;

2-cyano-*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}acetamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-fluorobenzamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-*N'*-propylurea;

N-benzoyl-*N'*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}urea;

2-[4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

ethyl [4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

ethyl [4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1*H*-pyrazol-1-yl]acetate;

4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazole;

2-[4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;

2-{4-[(4-chlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;

ethyl [4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

ethyl [4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;

4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;

4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;

4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;

4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;

2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;

2-{4-[(3,5-dichlorophenyl)sulfonyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;

4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazole;

2-[4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanamine;

2-[4-(3,5-dichlorobenzyl)-5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-3-ethyl-5-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-ethyl-3-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-3-ethyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-3-(dimethylamino)-5-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dimethylbenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-methoxy-3-methyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-5-(2-furyl)-3-methyl-1*H*-pyrazol-1-yl]ethanol;

(3,5-dichlorophenyl)[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]methanone;

(±)-2-{4-[(3,5-dichlorophenyl)(methoxy)methyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol;

2-[4-(2,6-difluorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;

2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl carbamate;

methyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanoate;

ethyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanoate;

3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanamide;

3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]-1-propanol;

[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methanol;

[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methyl carbamate;

2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanamine;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}benzamide;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1-methyl-1*H*-imidazole-4-sulfonamide;

ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-3-carboxylate;

ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-5-carboxylate;

4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-3-carboxamide;

2-[4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-3-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;

3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]-1-propanamine;

2-[4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2,2-difluoroacetamide;

[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methyl phenyl imidodicarbonate;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-*N'*-(2,6-difluorobenzoyl)urea;

N-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2,4-dioxo-1,2,3,4-tetrahydro-5-pyrimidinesulfonamide;

ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1*H*-pyrazole-3-carboxylate;

[4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-3-yl]acetonitrile;

[4-[(3,5-dichlorophenyl)sulfonyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-3-yl]acetonitrile;

2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol;

4-(3,5-dichlorobenzyl)-3-ethyl-1*H*-pyrazol-5-amine;

ethyl 4-(3,5-dichlorobenzyl)-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-5-ylcarbamate;

N-[4-(3,5-dichlorobenzyl)-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-5-yl]-2-methoxyacetamide;

2-[4-(3,5-dichlorobenzyl)-5-(dimethylamino)-3-ethyl-1*H*-pyrazol-1-yl]ethanol;

ethyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1*H*-pyrazole-3-carboxylate;

ethyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-3-methyl-1*H*-pyrazole-5-carboxylate;

tert-butyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1*H*-pyrazol-3-ylcarbamate;

2-[3-amino-4-(3,5-dichlorobenzyl)-5-methyl-1*H*-pyrazol-1-yl]ethanol;

ethyl [4-(3,5-dichlorobenzyl)-5-methoxy-3-methyl-1*H*-pyrazol-1-yl]acetate;

2-[5-amino-4-(3,5-dichlorobenzyl)-3-ethyl-1*H*-pyrazol-1-yl]ethanol;

5-{[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]methyl}isophthalonitrile;

5-[(3,5-diethyl-1*H*-pyrazol-4-yl)methyl]isophthalonitrile;

5-{[1-(2-aminoethyl)-3,5-diethyl-1*H*-pyrazol-4-yl]methyl}isophthalonitrile;

2-{4-[(3,5-dibromophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol; and

5-{[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]sulfanyl}isophthalonitrile;

and the pharmaceutically acceptable salts and solvates thereof.--

--152. (New) The compound of claim 151, wherein said compound is selected from the group consisting of 2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol; 2-[4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol; and 2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol.--

The above amendments add no new matter to this application. Applicants respectfully request their entry.

REMARKS

Applicants have amended the specification to include priority data as required pursuant 37 C.F.R. §1.78. Applicants have hereinabove-cancelled claims 1-75 without prejudice to their right to pursue the cancelled subject matter in a later filed divisional or continuation application. Applicants have added new claims 76-152. Support for new claims 76-152 is provided below. Applicants respectfully submit that the amendment to the specification and the addition of new claims 76-152 does not add new matter to the subject application. Accordingly, upon entry of this Preliminary Amendment claims 76-152 will be pending.

Support for new claim 76 may be found, *inter alia*, at page 4, line 11 through page 6, line 34 and original claim 37. Support for new claim 77 may be found, *inter alia*, at page 9, lines 8-11 and original claim 38. Support for new claim 78 may be found, *inter alia*, at page 9, lines 12 and 13 and original claim 39. Support for new claim 79 may be found, *inter alia*, at page 9, lines 14-16 and original claim 40. Support for new claim 80 may be found, *inter alia*, at page 9, lines 17 and 18 and original claim 41. Support for new claim 81 may be found, *inter alia*, at page 9, line 19 and original claim 42. Support for new claim 82 may be found, *inter alia*, at page 9, line 20 and original claim 43. Support for new claim 83 may be found, *inter alia*, at page 9, lines 22-28 and original claim 44. Support for new claim 84 may be found, *inter alia*, at page 9, lines 29-34 and original claim 45. Support for new claim 85 may be found, *inter alia*, at page 10, lines 1-6 and original claim 46. Support for new claim 86 may be found, *inter alia*, at page 11, lines 20-23 and original claim 47.

Support for new claim 87 may be found, *inter alia*, at page 10, lines 7-21 and original claim 48. Support for new claim 88 may be found, *inter alia*, at page 10, lines 22-24 and original claim 49. Support for new claim 89 may be found, *inter alia*, at page 10, line 25 and original claim 50. Support for new claim 90 may be found, *inter alia*, at page 10, lines 27-30 and original claim 51. Support for new claim 91 may be found, *inter alia*, at page 10, lines 31 and 32 and original claim 52. Support for new claim 92 may be found, *inter alia*, at page 10, line 33 through page 11, line 1 and original claim 53. Support for new claim 93 may be found,

inter alia, at page 11, lines 2 and 3 and original claim 54. Support for new claim 94 may be found, *inter alia*, at page 11, line 4 and original claim 55. Support for new claim 95 may be found, *inter alia*, at page 11, line 5 and original claim 56. Support for new claim 96 may be found, *inter alia*, at page 12, lines 18 and 19 and original claim 57. Support for new claim 97 may be found, *inter alia*, at page 12, line 20 and original claim 58. Support for new claim 98 may be found, *inter alia*, at page 12, line 21 and original claim 59.

Support for new claim 99 may be found, *inter alia*, at page 12, lines 22-24 and original claim 60. Support for new claim 100 may be found, *inter alia*, at page 12, lines 25-27 and original claim 61. Support for new claim 101 may be found, *inter alia*, at page 11, line 7 and original claim 62. Support for new claim 102 may be found, *inter alia*, at page 11, line 8 and original claim 63. Support for new claim 103 may be found, *inter alia*, at page 11, line 9 and original claim 64. Support for new claim 104 may be found, *inter alia*, at page 4, line 11 through page 6, line 34, page 39, lines 1-3 and original claim 66. Support for new claim 105 may be found, *inter alia*, at page 13, line 23 through page 14, line 17, page 24, lines 1-14 and original claim 68. Support for new claim 106 may be found, *inter alia*, at page 14, lines 15 and 16 and original claim 68. Support for new claim 107 may be found, *inter alia*, at page 19, line 24 through page 21, line 4 and original claim 69. Support for new claim 108 may be found, *inter alia*, at page 21, lines 4-15 and original claim 69. Support for new claim 109 may be found, *inter alia*, at page 22, lines 13-20 and original claim 70. Support for new claim 110 may be found, *inter alia*, at page 22, lines 18-20 and original claim 70. Support for new claim 111 may be found, *inter alia*, at page 22, lines 18-20 and original claim 70. Support for new claim 112 may be found, *inter alia*, at page 22, lines 22-33 and original claim 71.

Support for new claim 113 may be found, *inter alia*, at page 11, line 28 and original claim 71. Support for new claim 114 may be found, *inter alia*, at page 23, lines 9-20 and original claim 72. Support for new claim 115 may be found, *inter alia*, at page 23, line 16 and original claim 72. Support for new claim 116 may be found, *inter alia*, at page 26, lines 9-19 and original claim 73. Support for new claim 117 may be found, *inter alia*, at page 26, lines 18

and 19 and original claim 73. Support for new claim 118 may be found, *inter alia*, at page 30, lines 19-26 and original claim 74. Support for new claim 119 may be found, *inter alia*, at page 1, lines 16-20, page 1, line 28 through page 4, line 4 and original claims 1 and 2. Support for new claim 120 may be found, *inter alia*, at page 9, lines 8-11 and original claim 3. Support for new claim 121 may be found, *inter alia*, at page 9, lines 12 and 13 and original claim 4. Support for new claim 122 may be found, *inter alia*, at page 9, lines 14-16 and original claim 5. Support for new claim 123 may be found, *inter alia*, at page 9, lines 17 and 18 and original claim 6. Support for new claim 124 may be found, *inter alia*, at page 9, line 19 and original claim 7. Support for new claim 125 may be found, *inter alia*, at page 9, line 20 and original claim 8.

Support for new claim 126 may be found, *inter alia*, at page 9, lines 22-28 and original claim 9. Support for new claim 127 may be found, *inter alia*, at page 9, lines 29-34 and original claim 10. Support for new claim 128 may be found, *inter alia*, at page 10, lines 1-6 and original claim 11. Support for new claim 129 may be found, *inter alia*, at page 11, lines 20-23 and original claim 12. Support for new claim 130 may be found, *inter alia*, at page 10, lines 7-21 and original claim 13. Support for new claim 131 may be found, *inter alia*, at page 10, lines 22-24 and original claim 14. Support for new claim 132 may be found, *inter alia*, at page 10, line 25 and original claim 15. Support for new claim 133 may be found, *inter alia*, at page 10, lines 27-30 and original claim 16. Support for new claim 134 may be found, *inter alia*, at page 10, lines 31 and 32 and original claim 17. Support for new claim 135 may be found, *inter alia*, at page 10, line 33 through page 11, line 1 and original claim 18. Support for new claim 136 may be found, *inter alia*, at page 11 lines 2 and 3 and original claim 19. Support for new claim 137 may be found, *inter alia*, at page 11, line 4 and original claim 20. Support for new claim 138 may be found, *inter alia*, at page 11, line 5 and original claim 21.

Support for new claim 139 may be found, *inter alia*, at page 12 lines 18 and 19 and original claim 22. Support for new claim 140 may be found, *inter alia*, at page 12, line 20 and original claim 23. Support for new claim 141 may be found, *inter alia*, at page 12, line 21 and

original claim 24. Support for new claim 142 may be found, *inter alia*, at page 12, lines 22-24 and original claim 25. Support for new claim 143 may be found, *inter alia*, at page 12, lines 25-27 and original claim 26. Support for new claim 144 may be found, *inter alia*, at page 11, line 7 and original claim 27. Support for new claim 145 may be found, *inter alia*, at page 11, line 8 and original claim 28. Support for new claim 146 may be found, *inter alia*, at page 11, line 9 and original claim 29. Support for new claim 147 may be found, *inter alia*, at page 41, line 1 through page 106, line 25 and original claim 30. Support for new claim 148 may be found, *inter alia*, at page 59, line 2, page 84, lines 2 and 3, page 93, line 5 and original claim 75. Support for new claim 149 may be found, *inter alia*, in original claim 31. Support for new claim 150 may be found, *inter alia*, at page 1, lines 16-20, page 1, line 28 through page 4, line 5 and original claim 35. Support for new claim 151 may be found, *inter alia*, at page 41, line 1 through page 106, line 25 and original claim 31. Support for new claim 152 may be found, *inter alia*, at page 59, line 2, page 84, lines 2 and 3, page 93, line 5 and original claim 75.

Applicants respectfully submit that no new matter is added to the present application.

Applicants have attached hereto a marked-up version of the changes made to the specification and claims by the current amendment. The attached marked-up version is labeled "Version with Markings to Show Changes Made – Do Not Enter ". The marked-up version can be found following the signature page of this Amendment.

A favorable response is requested.

Respectfully submitted,

Date: July 5, 2001

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VERSION WITH MARKINGS TO SHOW CHANGES MADE – DO NOT ENTER

In the Specification

The following sentence containing the continuing application data for the subject application has been added following the Title of the application on page 1 as follows: "The application claims the benefit of U.S. Provisional Patent Application No. 60/220,087, filed July 21, 2000 and U.K. Patent Application No. 0016787.4, filed July 7, 2000, both of which are hereby incorporated by reference in their entirety."

In the claims

Claims 1-75 have been cancelled. New claims 76-152 have been added.